

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property  
Organization  
International Bureau



(43) International Publication Date  
25 March 2004 (25.03.2004)

PCT

(10) International Publication Number  
WO 2004/024735 A2

(51) International Patent Classification<sup>7</sup>: C07D 493/04,  
303/14, 303/16, 275/06, A61P 35/00

LOISELEUR, Olivier [CH/FR]; 6, rue de Genève,  
F-68300 Saint-Louis (FR).

(21) International Application Number:  
PCT/EP2003/010171

(74) Agent: GRUBB, Philip; Novartis AG, Corporate Intellec-  
tual Property, CH-4002 Basel (CH).

(22) International Filing Date:  
12 September 2003 (12.09.2003)

(81) Designated States (*national*): AE, AG, AL, AM, AT, AU,  
AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU,  
CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE,  
GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,  
LK, LT, LU, LV, MA, MD, MK, MN, MX, NI, NO, NZ,  
OM, PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SY, TJ,  
TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW.

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
0221312.2 13 September 2002 (13.09.2002) GB

(84) Designated States (*regional*): Eurasian patent (AM, AZ,  
BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE,  
BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU,  
IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR).

(71) Applicant (*for all designated States except AT, US*): NO-  
VARTIS AG [CH/CH]; Lichtstrasse 35, CH-4056 Basel  
(CH).

(71) Applicant (*for AT only*): NOVARTIS PHARMA GMBH  
[AT/AT]; Brunner Strasse 59, A-1230 Vienna (AT).

(72) Inventors; and

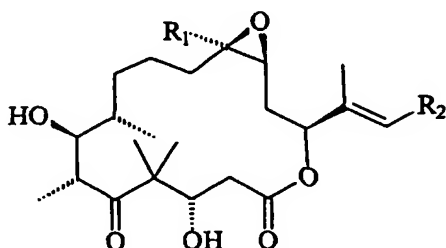
(75) Inventors/Applicants (*for US only*): KOCH, Guido  
[CH/CH]; Grenzacherweg 256, CH-4125 Riehen (CH).

**Published:**

— without international search report and to be republished  
upon receipt of that report

*For two-letter codes and other abbreviations, refer to the "Guid-  
ance Notes on Codes and Abbreviations" appearing at the begin-  
ning of each regular issue of the PCT Gazette.*

(54) Title: A NEW PROCESS FOR THE PREPARATION OF EPOTHILONE DERIVATIVES, NEW EPOTHILONE DERIVA-  
TIVES AS WELL AS NEW INTERMEDIATE PRODUCTS FOR THE PROCESS AND THE METHODS OF PREPARING SAME



(9)

(57) Abstract: The present invention provides a synthesis for the  
preparation of epothilone derivatives of formula (9) wherein R1 is  
methyl, and R2 has the meaning of an unsubstituted or substituted  
aryl, an unsubstituted or substituted heteroaryl or an unsubstituted  
or substituted heterocyclic radical fused to a benzene nucleus, and  
salts thereof, and intermediates for the synthesis of a compound of  
formula (9).

BEST AVAILABLE COPY

WO 2004/024735 A2